Patent

### Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

# **Listing of Claims:**

- 1. (Canceled).
- 2. (Currently amended): A method of treating or inhibiting the growth of cancerous tumor cells in a mammal in need thereof which comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative selected from those of Formula I:

**(I)** 

#### wherein:

R<sup>1</sup> is selected from the group consisting of halogen, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl optionally substituted with halogen, hydroxyl, nitro, alkyl, alkoxy, amino, alkylamino, dialkylamino and alkylamido, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said

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alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkoxy of 1 to 12 carbon atoms, said alkoxy being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, hydroxyl, alkoxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, aryl of 6, 10 or 14 aryl of 6 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, -CN, hydroxyl, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl of 5 or 6 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and

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benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 3 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, wherein said heterocyclyl is 5 or 6 ring atoms optionally substituted with 0 to 3 substituents independently selected from halogen, hydroxyl, alkyl, alkoxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, carboxyl, and alkylamido,-S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms, -O-aryl of 6, 10 or 14 carbon

R<sup>a</sup> is H, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclył, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

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cycloalkyl of 3 to 8 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, and alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, tricycloalkyl, aryl of 6, 10 or 14 carbon atoms, heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, phenoxy, benzyl, benzyloxy, heterocyclyl-and cycloalkyl;

R<sup>b</sup> is H, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

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alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, 10 or 14 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 10 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms; atoms, SO<sub>2</sub>cycloalkyl, SO<sub>2</sub>alkyl, O aryl of 6, 10 or 14 carbon atoms, heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or

R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen atom to which each is attached form a heterocyclyl ring from 5 or 6 ring atoms said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl; heterocyclyl, and eyeloalkyl;

R<sup>2</sup> is phenyl, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy; benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>3</sup> is H, halogen, alkyl of 1 to 12 carbon atoms <u>optionally substituted with 0 to 3 substituents</u> selected from, halogen, nitro, cyano, hydroxyl, alkoxycarbonyl and amino, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR<sup>c</sup>R<sup>d</sup>, aralkyloxy, alkylthio of 1 to 12 carbon atoms, heterocyclyl of <u>5 to 6</u> ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl,

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haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or  $-N_3$ ;

R<sup>c</sup> is H, amino, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 10 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, 10 or 14 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>d</sup> is H, amino, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl; alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

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alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 10 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, -cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, 10 or 14 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or

R<sup>c</sup> and R<sup>d</sup> when taken together with the nitrogen atom to which each is attached form a heterocyclyl ring of 3 to 12 ring atoms said heterocyclyl being optionally substituted with 0 to

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3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino; dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>4</sup> is H, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl, and amino, haloalkoxy, amino, alkylamino of 1 to 12 carbon atoms optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl, and amino, and dialkylamino(1 to 12 carbon atoms) optionally substituted with 0 to 3 substituents selected from, halogen, nitro, cyano, hydroxyl, and amino, and halogen; formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, halogen, carbamoyl, or aryl of 6, 10 or 14 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

provided that when: a) R<sup>1</sup> is diethylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, or 3-chloro-4-methoxyphenyl; b) R<sup>1</sup> is diethylamino, R<sup>3</sup> is bromo, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 4-trifluoromethylphenyl; c) R<sup>1</sup> is isopropylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R<sup>1</sup> is cyclopentylamino, R<sup>3</sup> is chloro, R<sup>4</sup> is hydrogen, R<sup>2</sup> is not 3,4,5-

Docket No: AM100341
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trimethoxyphenyl, 2-napthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is −SO₂ethyl or − SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not −OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is −phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E) 3,7-dimethyl 2,6-octadienyl; or a pharmaceutically acceptable salt thereof.

(Currently amended): The method according to claim 2 wherein R<sup>1</sup> is selected from 3. the group consisting of alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkyl being

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optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl,

cycloalkenyl of 3 to 6 carbon atoms in which one –CH<sub>2</sub>- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, -S-aryl of 6, or 10 carbon atoms, -S-alkyl of 1 to 6 carbon atoms, -S-alkenyl of 2 to 6 carbon atoms, -SO<sub>2</sub>aryl of 6, or 10 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 6 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 6 carbon atoms, -O-aryl of 6, or 10 carbon atoms, and the moiety –NR<sup>a</sup>R<sup>b</sup>;

R<sup>a</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eyeloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, heterocyclyl of 3 to 6 ring atoms, optionally ortho fused with a phenyl ring, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, eyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>b</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

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alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 6 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, -S-aryl of 6 or 10 carbon atoms, -S-alkyl of 1 to 6 carbon atoms, -S alkenyl of 2 to 6 carbon atoms, SO<sub>2</sub>aryl of 6 or 10 carbon atoms, SO<sub>2</sub>cycloalkyl of 3 to 6 carbon atoms, SO<sub>2</sub>alkyl of 1 to 6 carbon atoms. O aryl of 6 or 10 carbon atoms, heterocyclyl of 3 to 6 ring atoms, optionally ortho fused with a phenyl ring, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or benzyl, said benzyl being optionally substituted

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with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl. cycloalkyl; or a pharmaceutically acceptable salt thereof is administered.

- 4. (Currently Amended): The method according to claim 2 wherein R<sup>a</sup> or R<sup>b</sup> represents an optionally substituted alkyl moiety of 1 to 12 carbon atoms wherein said optionally substituted alkyl is represented by the moiety  $-C^*H(R^e)(R^f)$  where R<sup>e</sup> and R<sup>f</sup> independently represent an alkyl group of 1 to 12 carbon atoms said alkyl being optionally substituted with 0-3 halogen atoms where C\* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered. isomer.
- 5. (Canceled)
- 6. (Currently amended): The method according to claim 2 wherein R<sup>3</sup> is halogen, alkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, benzyloxy, haloalkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms, alkylamino of 1 to 6 carbon atoms, dialkylamino of 1 to 6 carbon atoms, or -NR<sup>c</sup>R<sup>d</sup>; R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eveloalkenyl of 5 to 7 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 5 to 8 ring atoms, said heterocyclyl-being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>d</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl. alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

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alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 6 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 5 to 8 ring atoms said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or a pharmaceutically acceptable salt thereof is administered.

- 7. (Currently amended): The method according to claim 2 wherein R<sup>4</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl, and amino, haloalkoxy, amino, alkylamino of 1 to 6 carbon atoms optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl and amino, and dialkylamino of 1 to 6 carbon atoms optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl and amino. amino; formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkoxy of 1 to 6 carbon atoms, said alkoxy being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkyl amino of 1 to 6 carbon atoms or dialkylamino of 1 to 6 carbon atoms, or a pharmaceutically acceptable salt thereof is administered.
- 8. (Currently amended): The method according to claim 2 wherein R<sup>1</sup> is selected from the group consisting of an alkyl of 1 to 3 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl optionally substituted with halogen, hydroxyl, alkyl, alkoxy, amino, alkylamino and dialkylamino, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl,

alkenyl of 2 to 3 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thioeyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino,

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formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 3 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, phenyl, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; cycloalkyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 3 to 6 carbon atoms in which one  $-CH_2$ - may also be replaced by  $-O_7$ ,  $-S_7$ , or -NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, -S- phenyl, -S-alkyl of 1 to 3 carbon atoms, -S-alkenyl of 2 to 3 carbon atoms, -SO<sub>2</sub> phenyl, -O-phenyl, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen to which each is attached form a heterocyclyl ring of 5 or 6 ring atoms said

heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from

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halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloaklyl. cycloalkyl, or a pharmaceutically acceptable salt thereof is administered.

### 9. (Canceled)

10. (Currently amended): The method according to claim 2 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms, alkylamino of 1 to 6 carbon atoms or dialkylamino of 1 to 6 carbon atoms. atoms, or a pharmaceutically acceptable salt thereof is administered.

11. (Currently amended): The method according to claim 2 wherein R<sup>4</sup> is H, alkyl of 1 to 3 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkylamino of 1 to 3 carbon atoms or dialkylamino of 1 to 3 carbon atoms, dialkylamino.

or a pharmaceutically acceptable salt thereof is administered.

12. (Currently amended): The method according to claim 2 wherein R<sup>1</sup> is selected from the group consisting of alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eyeloalkyl,

cycloalkyl of 3 to 6 carbon atoms in which one –CH<sub>2</sub>- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino,

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alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl,cycloalkenyl of 3 to 6 carbon atoms in which one – CH<sub>2</sub>- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, -S-aryl of 6, 10 or 14 carbon atoms,-S-alkyl of 1 to 6 carbon atoms, -S-alkenyl of 2 to 6 carbon atoms, -SO<sub>2</sub>eryl of 6, or 10 carbon atoms, -SO<sub>2</sub>eryloalkyl of 5 to 6 carbon atoms, -SO<sub>2</sub>eryloalkyl of 1 to 6 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen to which each is attached form a an optionally substituted heterocyclyl ring of 5 or 6 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato,

hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, or a

pharmaceutically acceptable salt thereof is administered. heterocyclyl.

## 13. (Canceled)

14. (Currently amended): The method according to claim 2 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, cyano, haloalkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms, or –NR<sup>c</sup>R<sup>d</sup>;

R<sup>c</sup> is H, amino, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 6 carbon atoms, in which one CH2 may also be replaced by O, S, or -NR<sup>2</sup> where R<sup>2</sup> is H or an alkyl group of 1 to 12 carbon atoms said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, in which one CH<sub>2</sub>-may also be replaced by O. S. or NR where R is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl; alkoxycarbonyl;

R<sup>d</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thioeyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, earbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, earbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 6 carbon atoms, in which one—CH<sub>2</sub>—may also be replaced by—O,—S, or—NR<sup>2</sup>—where R<sup>2</sup>—is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano,

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thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 8 carbon atoms, in which one—CH2—may also be replaced by—O—, S—, or—NR² where R² is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl; or alkoxycarbonyl;

R<sup>c</sup> and R<sup>d</sup> when taken together with the nitrogen atom to which each is attached <u>may</u> form a heterocyclyl ring from 3 to 8 ring atoms in which one –CH<sub>2</sub>- may also be replaced by –O-, -S-, or –NR' where R' is H or alkyl of 2 to 20 12 carbon atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thioeyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, <u>and dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or a pharmaceutically acceptable salt thereof is administered. dialkylamino.</u>

- 15. (Currently amended): The method according to claim 2 wherein R<sup>4</sup> is H<sub>.</sub> or a pharmaceutically acceptable salt thereof is administered.
- 16. (Canceled):
- 17. (Currently amended): The method according to claim 2 wherein R<sup>1</sup> is the moiety

  -NR<sup>a</sup>R<sup>b</sup> wherein and R<sup>a</sup> and R<sup>b</sup> optionally when taken together with the nitrogen to which each is attached form a heterocyclyl ring of 5 or 6 ring atoms, said heterocyclyl being

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optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, heterocyclyl;

R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 <u>6</u> carbon atoms, cyano, or -NR<sup>c</sup>R<sup>d</sup>, wherein R<sup>c</sup> and R<sup>d</sup> when taken together with the nitrogen to which each is attached form a heterocyclyl ring of 5 to 8 ring atoms, said heterocyclyl optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyloxy, heterocyclyl, and cycloalkyl, dialkylamino; and

R<sup>4</sup> is H<sub>2</sub> or a pharmaceutically acceptable salt thereof is administered.

18. (Currently amended): The method according to claim 2 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup>;

 $R^3$  is halogen, alkoxy of 1 to 6 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 6 carbon atoms, alkylthio of 1 to 12 6 carbon atoms, cyano, or -N<sub>3</sub>;

 $\mathbb{R}^4$  is H; and  $\mathbb{R}^4$  is H.

R<sup>a</sup> is H, optionally substituted alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

eycloalkyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub> may also be replaced by -O<sub>-</sub>, S<sub>-</sub>, or -NR' where R' is H or an alkyl group of 1 to -6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl,

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alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 8 carbon atoms, in which one CH2- may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, heterocyclyl of 5 to 8 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>b</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyloxy, heterocyclyl, and cycloalkyl,

aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 6 carbon atoms in which one CH2 may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 8 carbon atoms in which one CH2 may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said eycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, S aryl of 6 or 10 carbon atoms, S alkyl of 1 to 6 carbon atoms, S alkenyl of 2 to 6 carbon atoms, SO<sub>2</sub>aryl of 6 or 10 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 6 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 6 carbon atoms, O-aryl of 6 or 10 carbon atoms; or

R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen atom to which each is attached form a saturated or unsaturated heterocyclyl ring from 5 or 6 ring atoms in which optionally, at least one—CH<sub>2</sub>—may also be replaced by O, S, or—NR<sup>2</sup> where R<sup>2</sup> is H or an alkyl group of 2 to 6 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R<sup>e</sup> is H, amino, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, in which one -CH2-may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, in which one CH<sub>2</sub>-may also be replaced by O. S. or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl;

R<sup>d</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, in which one—CH<sub>2</sub>—may also be replaced by—O,—S, or—NR<sup>1</sup>—where R<sup>1</sup> is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro,

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eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,cycloalkenyl of 5 to 8 carbon atoms, in which one CH2 may also be replaced by O, S, or NR where R is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen,

nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl,

benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl; or

R<sup>e</sup> and R<sup>d</sup> when taken together with the nitrogen atom to which each is attached form a heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one CH<sub>2</sub>-may also be replaced by O, S, or NR' where R' is H or alkyl of 2 to 12 carbon atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or a pharmaceutically acceptable salt thereof is administered.

19. (Currently amended): The method according to claim 2 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup>;

R<sup>2</sup> is selected from

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$$\begin{array}{c} & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

$$\mathsf{F} = \mathsf{O}^{\mathsf{C}(\mathsf{CH}_2)_2\mathsf{OCH}_3}$$
 
$$\mathsf{OCH}_2 = \mathsf{OCH}_2$$

 $R^3$  is H, halogen, alkoxy of 1 to 6 carbon atoms,  $-NR^cR^d$ , alkylthio of 1 to 6 carbon atoms or cyano;

R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

20. (Currently amended): The method according to claim 2 wherein R<sup>1</sup> is selected from

$$H_3C$$
 $H_3C$ 
 $H_3C$ 

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R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms or cyano;

R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

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## 21. (Canceled)

22. (Currently amended): The method according to claim 2 wherein said <del>compound</del> substituted triazolopyrimidine derivative is selected from:

5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3- tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4] triazolo[1,5-a] pyrimidine;

 $6\hbox{-}(4\hbox{-bromophenyl})\hbox{-}5\hbox{-chloro-}7\hbox{-}(3\hbox{-methyl-}1\hbox{-piperidinyl})[1,2,4] triazolo[1,5\hbox{-} a] pyrimidine;$ 

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5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methox yphenyl)-7-(2-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2- propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2- trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4- methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluor ophenyl)-N-dodecyl [1,2,4] triazolo [1,5-a] pyrimidin-7-amine;

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5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2- propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)- pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7- yl]methanol;

1-[5-chloro-6-(2,6-difluor ophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

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5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1- yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1- yl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6- fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

 $6\hbox{-}(2\hbox{-bromophenyl})\hbox{-}N\hbox{-}(sec\hbox{-butyl})\hbox{-}5\hbox{-}chloro [1,2,4] triazolo [1,5\hbox{-}a] pyrimidin\hbox{-}7\hbox{-}amine; \\$ 

5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4] triazolo[1,5-a] pyrimidine;

5-chloro-7-(4-chloro-1-piperidinyl)-6-[2- (trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

 $5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-\{4-nitrophenyl\}[1,2,4]triazolo[1,5-a]pyrimidine;$ 

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

 $5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)\\ [1,2,4]triazolo\\ [1,5-a]pyrimidin-7-amine;$ 

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

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7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2- trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4- (trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N- propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N- cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin- 6-yl]-N,N-dimethylaniline;

6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

 $5\text{-}bromo-6-(2\text{-}chloro-6\text{-}fluorophenyl)-7-(isopropylsulfanyl) } [1,2,4]triazolo [1,5\text{-}a]pyrimidine;$ 

5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin- 7-yl]butyl acetate;

diethyl 2-allyl-2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

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6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4- chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2- methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

5-chloro-6-(4-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

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6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2- trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1- methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1- methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4] triazolo[1,5-a] pyrimidin-7-amine;

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5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl) [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl) [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

 $5\text{-}bromo-6-(2\text{-}chloro-6\text{-}fluorophenyl})-7\text{-}cyclohexyl[1,2,4]triazolo[1,5\text{-}a]pyrimidine;$ 

6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

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[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4] triazolo[1,5-a] pyrimidine;

5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

4-[5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;

{5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

(5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-)-(2,2,2-trifluoro-1-methylethyl)amine;

(5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}- [1,2,4]triazolo[1,5-a]pyrimidin-7-yl-)-(2,2,2-trifluoro-1-methylethyl)amine;

{5-chloro-6-[2,6-difluoro-4-(furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

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5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorphenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N, N-diethyl-6-[4-(methylsulfanyl)phenyl] [1,2,4] triazolo [1,5-a] pyrimidin-7-amine;

ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

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5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

diethyl 2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;

2-[5-chloro 6-(2-chloro 6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin 7-yl]-1,3-eyclohexanedione;

2-[5-chloro-6 (2-chloro-6 fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4] triazolo[1,5-a] pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl] [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pentanediamine;

5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

 $6\hbox{-}(4\hbox{-}tert\hbox{-}butylphenyl)\hbox{-}5\hbox{-}chloro\hbox{-}N\hbox{-}isopropyl[1,2,4]triazolo[1,5\hbox{-}a]pyrimidin\hbox{-}7\hbox{-}amine; }$ 

5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

 $5-chloro-N-(3-tricyclo\{2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;$ 

5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine; and

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5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine; and

2,5 dichloro 7 (4 methyl 1 piperidinyl) 6 [2 chloro 6 fluorophenyl][1,2,4]triazolo[1,5 a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

23-66. (Canceled)

67. (Currently amended): The method according to claim 2 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, <u>cervical</u>, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.

68-73 (Canceled)

74. (Previously presented): The method of claim 75 wherein the multiple drug resistance (MDR) is mediated by p-glycoprotein or MXR.

75. (Currently amended): A method for the treatment or prevention of cancerous tumor cells that express multiple drug resistance (MDR), in a mammal in need thereof which method comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative selected from those of Formula I:

$$R^4$$

$$\begin{array}{c}
N_1 & 8 & 7 \\
1 & N & 7 \\
2 & 3 & 4 \\
N & 3a & N
\end{array}$$

$$R^2$$

**(I)** 

wherein:

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R<sup>1</sup> is selected from the group consisting of halogen, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl optionally substituted with halogen, hydroxyl, nitro, alkyl, alkoxy, amino, alkylamino, dialkylamino and alkylamido, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkoxy of 1 to 12 carbon atoms, said alkoxy being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, hydroxyl, alkoxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, aryl of 6, 10 or 14 6 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, -CN, hydroxy halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl of 5 or 6 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino,

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dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 3 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, wherein said heterocyclyl is 5 or 6 ring atoms optionally substituted with 0 to 3 substituents independently selected from halogen, hydroxyl, alkyl, alkoxy, amino, alkylamino, dialkylamino, alkoxycarbonyl, carboxyl, and alkylamido, S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 8 carbon atoms,

R<sup>a</sup> is H, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

-SO<sub>2</sub>alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety

 $-NR^aR^b$ ;

alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato,

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hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 8 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, and alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, tricycloalkyl, aryl of 6, 10 or 14 carbon atoms, heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, benzyl, said benzyl being optionally substituted with 0 to 5

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substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; cycloalkyl;

R<sup>b</sup> is H, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, 10 or 14 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato,

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hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 10 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO<sub>2</sub>aryl of 6, 10 or 14 carbon atoms, SO<sub>2</sub>cycloalkyl, SO<sub>2</sub>alkyl, O aryl of 6, 10 or 14 carbon atoms, heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or

R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen atom to which each is attached form a heterocyclyl ring from 5 or 6 ring atoms said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl; heterocyclyl;

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R<sup>2</sup> is phenyl, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl;

R³ is H, halogen, alkyl of 1 to 12 carbon atoms optionally substituted with 0 to 3 substituents selected from, halogen, nitro, cyano, hydroxyl, alkoxycarbonyl and amino, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR°Rd , aralkyloxy, alkylthio of 1 to 12 carbon atoms, heterocyclyl of 5 to 6 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, earboxyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl,hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

R° is H, amino, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

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alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eveloalkyl of 3 to 10 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, 10 or 14 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>d</sup> is H, amino, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thioeyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 12 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 12 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 12 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 10 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, -cycloalkenyl of 5 to 10 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, bicycloalkyl of 5 to 10 carbon atoms, said bicycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, 10 or 14 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato,

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hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or

R<sup>c</sup> and R<sup>d</sup> when taken together with the nitrogen atom to which each is attached <u>may</u> form a heterocyclyl ring of 3 to 12 ring atoms said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, <u>and dialkylamino</u>, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; dialkylamino;

R<sup>4</sup> is H, alkyl of 1 to 12 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl, and amino, haloalkoxy, amino, alkylamino of 1 to 12 carbon atoms optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl, and amino, and dialkylamino(1 to 12 carbon atoms) optionally substituted with 0 to 3 substituents selected from, halogen, nitro, cyano, hydroxyl, and amino, and halogen; formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl of 3 to 12 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, halogen,

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carbamoyl, or aryl of 6, 10 or 14 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, or 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-napthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R⁴ is not (2E) 3,7 dimethyl 2,6-oetadienyl; or a pharmaceutically acceptable salt thereof.

76. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is selected from the group consisting of alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to

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3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6, or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio,

-S-alkyl of 1 to 6 carbon atoms, -S-alkenyl of 2 to 6 carbon atoms, -SO<sub>2</sub>aryl of 6, or 10 carbon atoms, -SO<sub>2</sub>cycloalkyl of 3 to 6 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 6 carbon atoms, -O-aryl of 6, or 10 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup>;

and heterocyclyl, and cycloalkyl, -S-aryl of 6, or 10 carbon atoms,

alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy,

R<sup>a</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato,

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hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, heterocyclyl of 3 to 6 ring atoms, optionally ortho fused with a phenyl ring, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, eyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkox yearbonyl, earboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

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or benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>b</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, arvl. alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 6 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato,

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hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

- S aryl of 6 or 10 carbon atoms, S alkyl of 1 to 6 carbon atoms,
- S alkenyl of 2 to 6 carbon atoms, SO<sub>2</sub> aryl of 6 or 10 carbon atoms,
- -SO<sub>2</sub>cycloalkyl of 3 to 6 carbon atoms, SO<sub>2</sub>alkyl of 1 to 6 carbon atoms,
- O aryl of 6 or 10 carbon atoms, heterocyclyl of 3 to 6 ring atoms, optionally ortho fused with a phenyl ring, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;
- or a pharmaceutically acceptable salt thereof is administered.
- 77. (Currently amended): The method according to claim 75 wherein R<sup>a</sup> or R<sup>b</sup> represent an optionally substituted alkyl moiety of 1 to 12 carbon atoms wherein said optionally substituted alkyl is represented by the moiety  $-C*H(R^e)(R^f)$  where R<sup>e</sup> and R<sup>f</sup> independently represent an alkyl group of 1 to 12 carbon atoms said alkyl being optionally substituted with 0-3 halogen atoms where C\* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.
- 78. (Canceled)

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79. (Currently amended): The method according to claim 75 wherein R<sup>3</sup> is halogen, alkyl of 1 to 6 carbon atoms, alkoxy of 1 to 6 carbon atoms, benzyloxy, haloalkoxy of 1 to 6 carbon atoms, alkylamino of 1 to 6 carbon atoms, or –NR<sup>c</sup>R<sup>d</sup>;

R<sup>c</sup> is H, amino, optionally substituted alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and eycloalkyl, eycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 7 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl,

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alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 5 to 8 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; alkoxycarbonyl;

R<sup>d</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 6 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkadienyl of 4 to 6 carbon atoms, said alkadienyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl,

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aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl of 5 to 8 ring atoms said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl; or a pharmaceutically acceptable salt thereof is administered.

80. (Currently amended): The method according to claim 75 wherein R<sup>4</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl, and amino, haloalkoxy, amino, alkylamino of 1 to 6 carbon atoms optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl and amino, and dialkylamino of 1 to 6 carbon atoms optionally substituted with 0 to 3 substituents selected from halogen, nitro, cyano, hydroxyl and amino; formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkoxy of 1 to 6 carbon atoms, said alkoxy

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being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkyl amino of 1 to 6 carbon atoms or dialkylamino of 1 to 6 carbon atoms, or a pharmaceutically acceptable salt thereof is administered.

81. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is selected from the group consisting of an alkyl of 1 to 3 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl optionally substituted with halogen, hydroxyl, alkyl, alkoxy, amino, alkylamino and dialkylamino, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eyeloalkyl, alkenyl of 2 to 3 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkynyl of 2 to 3 carbon atoms, said alkynyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, phenyl, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

cycloalkyl of 3 to 6 carbon atoms in which one –CH<sub>2</sub>- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino,

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alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl,

cycloalkenyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and eyeloalkyl, -S- phenyl, -S-alkyl of 1 to 3 carbon atoms, -S-alkenyl of 2 to 3 carbon atoms, -SO<sub>2</sub> phenyl, -O-phenyl, said phenyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen to which each is attached form a heterocyclyl ring of 5 or 6 ring atoms said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

or a pharmaceutically acceptable salt thereof is administered.

## 82. (Canceled)

- 83. (Currently amended): The method according to claim 75 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms, alkylamino of 1 to 6 carbon atoms or dialkylamino of 1 to 6 carbon atoms, or a pharmaceutically acceptable salt thereof is administered.
- 84. (Currently amended): The method according to claim 75 wherein R<sup>4</sup> is H, alkyl of 1 to 3 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently

selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyloxy, heterocyclyl, and cycloalkyl, alkyl amino of 1 to 3 carbon atoms or dialkylamino of 1 to 3 carbon atoms,

or a pharmaceutically acceptable salt thereof is administered.

85. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is selected from the group consisting of alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and eyeloalkyl, cycloalkyl of 3 to 6 carbon atoms in which one -CH2- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, and benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 3 to 6 carbon atoms in which one -CH<sub>2</sub>- may also be replaced by -O<sub>-</sub>, -S<sub>-</sub>, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, S aryl of 6, 10 or 14 carbon atoms, S alkyl of 1 to 6 carbon atoms, S alkenyl of 2 to 6 carbon atoms, -SO<sub>2</sub>arvl of 6, or 10 carbon atoms, -SO<sub>2</sub>cycloalkyl of 5 to 6 carbon atoms, -SO<sub>2</sub>alkyl of 1 to 6 carbon atoms, and the moiety -NR<sup>a</sup>R<sup>b</sup> wherein R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen to which each is attached form a optionally substituted heterocyclyl ring of 5 or 6 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl,

alkylamido, phenyl, phenoxy, benzyl, benzyloxy, <u>and</u> heterocyclyl, <del>and cycloalkyl,</del> or a pharmaceutically acceptable salt thereof is administered.

## 86. (Canceled)

87. (Currently amended): The method according to claim 75 wherein R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, cyano, haloalkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms, or –NR<sup>c</sup>R<sup>d</sup>;

R<sup>c</sup> is H, amino, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamovl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eycloalkyl of 3 to 6 carbon atoms, in which one CH2 may also be replaced by O, S, or NR where R is H or an alkyl group of 1 to 12 carbon atoms said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkoxycarbonyl;

cycloalkenyl of 5 to 10 carbon atoms, in which one—CH<sub>2</sub>-may also be replaced by O, S, or—NR<sup>2</sup> where R<sup>2</sup> is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being

optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl;

R<sup>d</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, and alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, in which one - CH2 may also be replaced by O, S, or -NR<sup>2</sup> where R<sup>2</sup> is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 8 carbon atoms, in which one CH2 may also be replaced by O, S, or NR where R is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, eyano, alkenyl, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl; or

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R<sup>c</sup> and R<sup>d</sup> when taken together with the nitrogen atom to which each is attached <u>may</u> form a heterocyclyl ring from 3 to 8 ring atoms in which one –CH<sub>2</sub>- may also be replaced by –O-, -S-, or –NR' where R' is H or alkyl of 2 to 20 12 carbon atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, <u>and</u> dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or a pharmaceutically acceptable salt thereof is administered.

88. (Currently amended): The method according to claim 75 wherein R<sup>4</sup> is H <del>or a pharmaceutically acceptable salt thereof is administered</del>.

89. (Canceled)

90. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is the moiety –NR<sup>a</sup>R<sup>b</sup> wherein and R<sup>a</sup> and R<sup>b</sup> optionally when taken together with the nitrogen to which each is attached form a heterocyclyl ring of 5 or 6 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, and heterocyclyl, and cycloalkyl, heterocyclyl;

R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 <u>6</u> carbon atoms, cyano, or -NR<sup>c</sup>R<sup>d</sup>,

wherein R<sup>c</sup> and R<sup>d</sup> when taken together with the nitrogen to which each is attached form a heterocyclyl ring of 5 to 8 ring atoms, said\_heterocyclyl optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, and dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, dialkylamino; and

R<sup>4</sup> is H or a pharmaceutically acceptable salt thereof is administered.

91. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is the moiety –NR<sup>a</sup>R<sup>b</sup>;

 $R^3$  is halogen, alkoxy of 1 to 6 carbon atoms, -NR<sup>c</sup>R<sup>d</sup>, haloalkoxy of 1 to 12 6 carbon atoms, alkylthio of 1 to 12 6 carbon atoms, cyano, or -N<sub>3</sub>;

R<sup>4</sup> is H;

R<sup>a</sup> is H, optionally substituted alkyl of 1 to 6 carbon atoms, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms in which one CH<sub>2</sub> may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 8 carbon atoms, in which one CH may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

aryl of 6 or 10 carbon atoms, heterocyclyl of 5 to 8 ring atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato,

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hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl;

R<sup>b</sup> is H, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, said aryl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms in which one CH2- may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkox ycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

eycloalkenyl of 5 to 8 carbon atoms in which one CH<sub>2</sub> may also be replaced by O, S, or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy,

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heterocyclyl, and cycloalkyl, S aryl of 6 or 10 carbon atoms, S alkyl of 1 to 6 carbon atoms, S-alkenyl of 2 to 6 carbon atoms, SO<sub>2</sub>aryl of 6 or 10 carbon atoms, SO<sub>2</sub>alkyl of 3 to 6 carbon atoms, SO<sub>2</sub>alkyl of 1 to 6 carbon atoms, O aryl of 6 or 10 carbon atoms; or

R<sup>a</sup> and R<sup>b</sup> when taken together with the nitrogen atom to which each is attached form a saturated or unsaturated heterocyclyl ring from 5 or 6 ring atoms in which optionally, at least one—CH<sub>2</sub>—may also be replaced by O, S, or—NR<sup>2</sup> where R<sup>2</sup> is H or an alkyl group of 2 to 6 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R<sup>e</sup> is H, amino, alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkox yearbonyl, carbox yl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkyl of 3 to 6 carbon atoms, in which one - CH2- may also be replaced by O-, -S-, or NR where R is H or an alkyl group of 1 to 12 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl,

eycloalkenyl of 5 to 10 carbon atoms, in which one—CH<sub>2</sub>—may also be replaced by O, S, or—NR<sup>2</sup> where R<sup>2</sup> is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio,

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alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl;

R<sup>d</sup> is H. alkyl of 1 to 6 carbon atoms, said alkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, alkenyl of 2 to 6 carbon atoms, said alkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, aryl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, eveloalkyl of 3 to 6 carbon atoms, in which one CH2 may also be replaced by O, S, or -NR where R is H or an alkyl group of 1-to 6 carbon atoms, said cycloalkyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, eyano, thiocyanato, eyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, cycloalkenyl of 5 to 8 carbon atoms, in which one CH2-may also be replaced by O-, -S , or NR' where R' is H or an alkyl group of 1 to 6 carbon atoms, said cycloalkenyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, aryl of 6 or 10 carbon atoms, benzyl, said benzyl being optionally substituted with 0 to 5 substituents independently selected from halogen, nitro, cyano, alkenyl, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, alkenyloxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl,

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alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or heterocyclyl; or

R<sup>6</sup> and R<sup>d</sup> when taken together with the nitrogen atom to which each is attached form a heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one—CH<sub>2</sub>—may also be replaced by—O ,—S-, or—NR' where R' is H or alkyl of 2 to—12 carbon atoms, said heterocyclyl being optionally substituted with 0 to 3 substituents independently selected from halogen, nitro, cyano, thiocyanato, cyanato, hydroxyl, alkyl, haloalkyl, alkoxy, haloalkoxy, amino, alkylamino, dialkylamino, formyl, alkoxycarbonyl, carboxyl, alkanoyl, alkylthio, alkylsulphinyl, alkylsulphonyl, carbamoyl, alkylamido, phenyl, phenoxy, benzyl, benzyloxy, heterocyclyl, and cycloalkyl, or a pharmaceutically acceptable salt thereof is administered.

92. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is the moiety -NR<sup>a</sup>R<sup>b</sup>;

## R<sup>2</sup> is selected from

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$$(CH_2)_2OCH_3$$

$$OCH_2$$

$$OCH_2$$

 $R^3$  is H, halogen, alkoxy of 1 to 6 carbon atoms, -NR  $^cR^d$  , alkylthio of 1 to 6 carbon atoms or cyano; and

R<sup>4</sup> is H<sub>.</sub> or-a pharmaceutically acceptable salt thereof is administered.

93. (Currently amended): The method according to claim 75 wherein R<sup>1</sup> is selected from

R<sup>3</sup> is halogen, alkoxy of 1 to 6 carbon atoms, alkylthio of 1 to 6 carbon atoms or cyano; <u>and</u> R<sup>4</sup> is H<sub>2</sub> or a pharmaceutically acceptable salt thereof is administered.

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94. (Canceled)

95. (Currently amended): The method according to claim 75 wherein said <u>substituted</u> triazolopyrimidine derivative compound is selected from:

5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3- tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl] [1,2,4] triazolo [1,5-a] pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4] triazolo[1,5-a] pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluor ophenyl)-7-(4-methyl-1-piperidinyl) [1,2,4] triazolo [1,5-a] pyrimidine;

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5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2- propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2- trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4- methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluor ophenyl)-N-dodecyl [1,2,4] triazolo [1,5-a] pyrimidin-7-amine;

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5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2- propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)- pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7- yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl) [1,2,4] triazolo [1,5-a] pyrimidine;

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5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1- yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1- yl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6- fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

 $6\hbox{-}(2\hbox{-bromophenyl})\hbox{-}N\hbox{-}(sec\hbox{-butyl})\hbox{-}5\hbox{-}chloro [1,2,4] triazolo [1,5-a] pyrimidin\hbox{-}7\hbox{-}amine; \\$ 

5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-chloro-1-piperidinyl)-6-[2- (trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl [1,2,4] triazolo [1,5-a] pyrimidine;

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7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl) [1,2,4] triazolo [1,5-a] pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2- trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,5-difluor ophenyl)-7-(4-methyl-1-piperidinyl) [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4- (trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N- propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N- cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

 $5\text{-}bromo-6-(2\text{-}chloro-6\text{-}fluorophenyl})-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5\text{-}a]pyrimidine;$ 

5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin- 7-yl]butyl acetate;

diethyl 2-allyl-2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-yl]oxy}malonate;

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6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4- chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2- methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

5-chloro-6-(4-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis (4-methyl-1-piperidinyl)-6-(2,4,6-trifluor ophenyl) [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

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6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2- trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1- methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1- methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6- trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl) [1,2,4] triazolo [1,5-a] pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(\(\text{\textsize}\)ricycl[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

 $5\text{-}bromo-6-(2\text{-}chloro-6\text{-}fluorophenyl})-7\text{-}cyclohexyl} [1,2,4] triazolo [1,5\text{-}a] pyrimidine;$ 

6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

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[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4] triazolo[1,5-a] pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

4-[5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;

{5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

(5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-)-(2,2,2-trifluoro-1-methylethyl)amine;

(5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}- [1,2,4]triazolo[1,5-a]pyrimidin-7-yl-)-(2,2,2-trifluoro-1-methylethyl)amine;

{5-chloro-6-[2,6-difluoro-4-(furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

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5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorphenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl) [1,2,4] triazolo [1,5-a] pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N, N-diethyl-6-[4-(methylsulfanyl)phenyl] [1,2,4] triazolo [1,5-a] pyrimidin-7-amine;

ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

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5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

diethyl 2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;

2 [5 chloro 6 (2 chloro 6 fluorophenyl)[1,2,4]triazolo[1,5 a]pyrimidin 7 yl] 1,3-cyclohexanedione;

2 [5-chloro 6 (2 chloro-6 fluorophenyl)[1,2,4]triazolo[1,5 a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine; 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pentanediamine;

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5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-l[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(3-tricycle[2.2.1.0<sup>2,6</sup>]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine; and

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1- piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine; and

2,5 dichloro 7 (4 methyl 1 piperidinyl) 6 [2 chloro 6 fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

- 96. (Currently amended): The method according to claim 2 wherein said compound substituted triazolopyrimidine derivative is 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine or a pharmaceutically acceptable salt thereof. is administered.
- 97. (Currently amended): The method according to claim 75 wherein said compound substituted triazolopyrimidine derivative is 5-chloro-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine or a pharmaceutically acceptable salt thereof. is administered.
- 98. (Previously presented): The method according to claim 67 wherein the cancerous tumor cells are selected from the group consisting of colon, lung, prostate, cervical, epidermal, leukemia, skin and brain.
- 99. (New): The method according to claim 67 wherein the cancerous tumor cells are selected from the group consisting of lung, brain, melanoma, colon, and cervical.